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                     2000: Hilder CAPLUS
ACCESSION NUMBER:
                          134:57671
DOCUMENT NUMBER:
TITLE:
                          Process for the preparation of 4-alkanoylimidazole
                          derivatives and 1-(2-naphthyl)-1-(1H-imidazol
                         -4-yl alkanol derivatives
                         Kawakamı, Jun-ichi
INVENTOR S.:
PATENT ASSIGNEE(S):
                          Takeda Cherical Industries, Ltd., Japan
SOURCE:
                         FCT Int. Appl., 39 pp.
                         CODEN: FIRRE?
                                                     371 Obpet
DOCUMENT TYPE:
                         Fatent
LANGUAGE:
                         Japanese
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                            APPLICATION NO.
     PATENT NO. KIND DATE
                                                              DATE
                                                              <u>}-----</u>
     :000078727 A1 230.1238 W0 2000-JP4036 /20000621
W: AE, AG, AL, AM, AU, AL, BA, BB, EG, BR, BY, BZ, CA, CN, CR, CU,
                                                              /20000621
     WO 200007872T
             CZ, LM, DZ, EE, GD, GE, HR, HU, ID, N. IN IS, JP, KG, KR, KZ,
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LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, ME, ND, NE, PL, RD, RU, SG, SI, SK, TC, TM, TF, TT, TA, US, UZ, VN, YU, SA, AM, AZ, BY, KG, KZ, MD, EU, CC, TM

RW: GH, GM, KE, LS, MW, ME, SD, SL, SG, TE, UG, SW, AT, BE, CH, CY, DE, DE, ES, FI, FR, GB, GF, IE, IT, LU, MC, NL, PT, SE, BF, BJ, TF, IG, C1, CM, SA, SN, SW, ML, ME, NE, SN, TD, TG

JP 2001044264 A2 21010313 JP 1000-191081 20000601

EP 1193218 A1 21020403 EP 1000-940770 20100601

R: AT, BE, CH, DE, DK, ES, FE, GB, TE, IT, DI, LU, NL, GE, MC, PT, IE, FI, LT, LV, FI, RO

PRIORITY APELN. IUFO:: JP 1949-175070 A 19990602

WO 20JG-JP4036 W 201006011

OTHER SOURCE(S): CASREACT 134:56671; MARPAT 134:56671

E1 R^7 HO F-6 И Ä Ν NC Γ $F^{\mathcal{L}_i}$ $\mathbf{R}^{\frac{1}{2}}$ \mathbb{R}^4 F.3 Ι N II F7 F 1 P.6 \mathbb{M}^2 \bigcirc V $F^{\mathbb{C}}$ F:5 F. F. 4 F 3 IV 11 III

AB An industrially advantageous process for the preph. of compds. of general formula (I; wherein the ring A is an optionally substituted imidazole ring; R is an optionally substituted hydrogarbon group or a heterocyclic group; and E1, E2, E1, E4, E5, R6, and E7 are each hydrogen, optionally substituted hydrogarbyl, OE, SE, NH2, acyl, halogens,

or the like) comprises addn. reaction of 4-cyanoimidazole (II; the ring A is same as above) with F-M1 (F is same as above; M1 = alkali metal, Mg-Y1; Y1 = halo) to give 4-acylimidazole (III; F and ring A are same as above), followed by addn. reaction of III with naphthalene alkali metals (IV; F1 - R7 are = same as above; M2 is alkali metal, Mg-Y2; Y2 is halo). This process is reduced in the no. of steps, attains a high yield, and dispenses with the use of a heavy metal compd. The compds. I exhibit a steroid C17-G10 lyase inhibitory activity (no data). Thus, a soln. of 42.7 g 4-cyanoimidazole in 500 mL THF was added dropwise to a 1.1. M

scln.

of isopropylmagnesium bromide in THF (1.4 L) over a period of 34 min, stirred at 19-25.degree., treated dropwise with 10% aq. H2SO4, stirred for

30 min, neutralized to pH 8 with 30 aq. NaOH, and extd. with EtOAc (300 L times. 2) to give 82- 1-(1H-imidazol-4-yl)-2-methyl-1-propanone

(V). 2-Bromo-6-methoxynaphthalene (5.15 g) was added dropwise to a mixt. of 0.55 g and 3 mg iodine in THF at 50.degree. and stirred at 15-25.degree. for 1.5 h, followed by adding dropwise a soln. of 1 g V in THF, and the resulting mixt. was stirred at 15-25.degree. for 8 h to give,

after workup, 84% 1-(1H-imidazol-4-yl)-1-(6-methoxynaphthalen 2-yl)-2-methylpropanol.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS

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      of April Records from 19.com available in CAPLUS, HCAPLUS, and
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                  BIOSIS Gene Names now available in TOXCENTER
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                  Federal Research in Progress (FEDRIP) now available
         Apr ...
HEWS
                  New e-mail delivery for search results now available
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                  MEDITINE Foliation
NEWS 10
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          Jun 15
                  ECTFULL has been reloaded
NEWS 11
         Jul 30
Jul 33
                  MOREGE no longer contains STADUARDS file segment
NEWS 11
                  USAN to be reloaded July 28, 2002;
NEWS 13
                  saved answer sets no longer valid
         Jul 19 Enhanced polymer searching in REGISTRY
NEWS 14
         Jul 30 METFIEST to be removed from STM
NEWS 15
                  CANCERLIT reload
NEWS 1€
         Aug 0t
         Aug 08
NEWS 17
                  FHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18 Aug 08
                  NTIS has been reloaded and enhanced
NEWS 19
         Aug 19 Aduatic Toxicity Information Retrieval (AQUIRE)
                  now available on STN
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                  IFIFAT, IFICDB, and IFIVOB have been reloaded
NEWS 20
         Aug 19
NEWS 31
                 The MEDLINE file segment of TUMCENTER has been reloaded
NEWS III
         Aug 26
                  Sequence searching in REGISTRY enhanced
NEWS 33
         Sep 03
                 JAPIO has been reloaded and enhanded
                  Experimental properties added to the REGISTRY file
MEWS 14
         Sep 16
                 Indexing added to some pre-196% records in CA/CAPLUS
         Sep 16
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                 CA Section Thesaurus available in CAPLUS and CA
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                 CASFEACT Enriched with Beactions from 1907 to 1985
MEWS EMPRESS February 1 CUFFERNT WINDOWS VERSION 13 V6.Cd,
              CURRENT MACINTOSE VERSION IS V6.1a(ENG) AND V6.0Ja(JP),
              AND CURRENT LISCOVER FILE IS DATE: 08 FEBRUARY 2002
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4288 ANSWERS

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SINCE FILE TOTAL ENTRY SESSION 280.94 281.15

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213÷ L4

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=> s 14/p

L6 1234 L4/P

=> s 16 and 12

1681 L2

L7 11: L6 AND L2

=> s inhibit?

L8 1514816 INHIBIT?

=> s imidazol?

L3 74180 IMIDAZOL?

=> s 19 and 17

L10 00 L9 AND L7

=> s 110 and lyase?

13958 LYASE?

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L12 2844603 PHOCESS?

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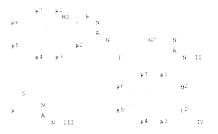
L13 7 L10 AND L12

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Dis Angmer 1 of 7 CAPIUS COPYRIGHT (201 ACS ACCESSION NUMBER: Convertible CAPIUS COPURINT NUMBER: 174:56671 Process for the preparation of 4 alkanoplimidatele derivatives and 1 innephthyl 1 (Helmidatel-4) alkanol derivatives (Kowakano, Cunton) Dakes Chemical Industries, Ital Japan Source: Copur Fixhic Price (Copur Fixhic Price) Patent Landburge: Copur Fixhic Price (Copur Fixhic Patent Chemical Industries, Ital Japan Source) Prixity (Copur Fixhic Price) Patent Copur Fixhic Price) Copur Fixhic Patent Chemical Industries (Copur Fixhic Price) Copur Fixhic Price) Copur Fixhic Price (Copur Fixhic Price) Copur Fixhic Price) Copur Fixhic Price (Copur Fixhic FOCUMENT TYPE: LANGUAGE FAMILY ACC. NUM. COUNT: PATENT INFORMATION: apa inse APPLICATION NO) FATENT NO KIND ENTE WO 2000078727 AT 20001228 WO 20 W: AE, AG, AL, AM, NU, AZ, BA, BB, BG CD, DM, DJ, EF, ED, GE, HR, NU, ID IL, IN, IS, JP, KG, EC, EK, EP, UT, M, MA, MD, MG, MK, MN, MC, MZ, NO, NZ, PL, PO, FU, SG, SI, JR., 77, TM, TF, TT, WA. US, WZ, TN, YU, ZA, BY, KG, KJ, MD, RO, TJ, TM BW: GH, GM, KE, LL, MW, MZ, SD, BE, SZ, TZ, UG, LW, AT, BE, CH, CT, DE, DK, ES, SI, SR, GB, GR, JE, IT. LU. MG, ML, PT, SE, IE, SI, LT, LT, FL, ROPRIORITY APPLA INFOL: , LT, JT, FL, RO 10: JP 1999-175370 A 19999622 WC 2865-574336 W 2000621 CASRGACT 1(4:56671) NARPAT 131:56671 OTHER SOURCE S::

LI3 ANSWER 1 OF " CAPLUI COPYRIGHT 2001 AUS (Continied) to degree and stirred at 15-25 degree for (5 h. fillowed by adding dropwise a soln. of . g / in TFF, and the resulting mint, was stirred at . 5-25 degree for 8 h to give, after workup, 84 l-(.H-imidazol -4-y).-1-6-methylopidanol . Greenthoxyn.phtholeni-yi.J-methylopidanol . H. KCT (Reactant . RAOT . Reactant or reagent) (preph of 4-a.kanoy.imidazile derivs and ..dipha.-(1-nuphthyl).-1-alpha. (H-imidazole: w.n. t.kylmagnesium bromides followed by naphthylmagnes.um bromides . H. CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: CA INDEX NAME . H. Indidzole: 4 carbo...ti..e | F: Carbo...ti..e | F

DIV ANSWER I OF TOWARDS COFFEIGHT LOUD ASS (Continued)



AB. An industrially advantageous process for the preph. of compds. of general formula. It wherein the ring A is an optionally substituted.

extd. With

ErCa: (.00 L times. 2 to give 82: 1:(IH:imidazol
-1:y:-2:methyl-1-propanone (V). 2-Bromo-6-methoxynaphthalene
(5 15).

With idded dropwise to a mixt, of 0.55 g and 3 mg iodine in THF at

LID ANSWER : OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued) RN 30-417-46-1 CAPLUS CN 1-Propanone, 1-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

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THERE ARE 19 CITED REFERENCES AVAILABLE
FECOPS. ALL CITATIONS AVAILABLE IN THE

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LI3 ANSWEP 7 OF 7 CARLUS COPYRIGHT YOU ACS CONTINUED.

123124-96-9P, 10,47 Brill imidatole, 3 carrinitrile

123124-97-0P 123124-99-2P 279250-68-9P

279250-88-3P 279250-98-4P 279250-99-0P

279250-91-8P 279250-92-9P 279250-99-0P

279250-97-4P 279250-98-5P 279250-99-0P

279250-97-4P 279250-98-5P 279251-01-9P

279251-02-4P 279251-03-5P 279251-01-9P

279251-02-4P 279251-03-6-8P, 11,47 Brindatole

1-4 intribudited the 279251-07-9P 279251-08-0P

279251-09-1P 279251-13-7P 279251-11-5P

279251-12-6P 279251-13-7P 279251-11-5P

279251-12-6P 279251-13-7P 279251-17-1P

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Reparation: PACT

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LI3 ANSWER 2 OF 7 CAPIUS COPYRIGHT 2 2 ACS
ACCESSION HOMBER: 2 1245 40 JAPIUS
DOCUMENT NUMBER: 1230 2446
Synthesis, Structure, and Newtoprofestive
Properties
                                                                                   of Novel Imidatelyl Nitrones
Thathaut, Alaine Troot, Andree Paimraud, Brice
Lockhalt, Briane Lestage, Pierree Geldstein,
AUTHOR S.:
Solo
COMPURATE COUNCE:
                                                                                   Chemistry Besearch Division A and Milecular
                                                                                  Department, Institut de Recherches Servier.
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AB A new series of imidately1 nitrones spin traps has been synthesized and evaluated pharmacol. The salient structural feature of these mois. Is the presence of an imidatele noisty substituted by arom, or heterogram, my des. This connectivity imparts to the nitrone
                                                                                                                                                                                                                                                                                       RN 94938- 1-7 CARLUS
CN 1H Imidazole 4 Marbonaldehyde, i methyl 2 phenyl- (901) - 00A INDEX
                                                                                                                                                                                                                                                                                       CN
NAME;
nitrone superior neuroprotective properties in wive and in parallel reduced side
                                                                                                                                                                                                                                                                                                        51
                  end side effects and toxicity. Thus, I administered i.p. protects (9 ^{\circ} f)
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effects and conder;
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lethality induced by an intracerefroventricular administration of
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CN | IH-Imidazole-to-tarbonaldehyde, | 1 methyl-2-phenyl | (901) | CA | INDEX
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hydroperoxide | t BHP) an omidant capable of inducing
processes. Administration of the archetypal nitrone phenyl tert-Bu nitrone .PBN at an equimolar dose also affords some protection for in this test. However, this activity is accompanied by homostagementations.
               mpanied by
hypothermia, whereas no such effect is apparent for 1. Moreover
previously prepd. nonsubstituted or alkylisibstituted imidazolyl
nitrones were shown to be extremely toxic to rats in contrast to
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Correlate Well with the calcd, partition coeffs. (ClogP) and HOMO energy level. IT 34626-11-4P 94938-02-0P 94938-03-1P 97749-71-8P 97749-78-5P 102807-99-8P
                                                                                                                                                                                                                                                                                       RN 97744-71-H CAPLUS
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L13 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)
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CN IH Imidazole-4-carboxaldenyde, 2-(3-chlorophenyl) 901 - CA
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[0,41 Bi-1H-imidamole] 4 marronofrile 901 JA INTEX NAME
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1H-Imidanole 4 carbonitrile, 2 3-foranyl - 901. CA INTEX NAME:
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ON IN Initiazole-4-markonitrile, 2 (4 dimensiylamino phenyl)- chol.
OA INCEX
NAME H DMe2 RH 239250 98-1 TAPLOS CN IH-Imidazole 4-narhonitrile, 2 4 methylphenyl, 901- CA INTEX NAME. 279250-94-1 CAPLUS 18-Imidazole-4-carbonitrile, 2 4 fluorophenyl -cf CA INTEX RN 279251 01-3 CAPLUS CN Hithidazole 4 Haribonaldehyde, 2-(2-naphthalenyl) - (901) (CA THORE NAME) RN 279250-95:2 CAPLUS
CN 1H-Imidazole-4-carbonitrile, 2 [4 strifluoromethyl-phenyl) (9CI 103 deviewers INDEX NAME: of H. vs. CH, ...? (@ arbanyl) RN 279251-02-4 CAPLUS COPYRIGHT. W ACS Continued: RN 279251-02-4 CAPLUS CN [H-imidazole-4-carboxaldenyde, 1 (l-naphthalenyl)- 901 CA INTEX NAME: 113 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2012 ACS H H CHC N PN 279251-07 9 CAPRUS CN H-Imidazole 4-carboxaldehyde, 2-,2-th:azolyl) (9CI: (CA INDEX NAME) OHC OHC N N RN 2792N1-33-5 CAPLUS CN IN-Imidazole-4-carbonaldehyde, 2-32 furanyl- 901 100A INVEX NAME: N S 279251 6 . WPLMS . Himbohaldebyde, F of pyridinyl 901 - GA IMPEN ON NAME PN 19651 1446 CAPIUS CN 1B Imidazole 4-marioxaldehyde, / E firany. +NI M INCEX NAME: N N Pd [T025] %-1 FAPIUS CN | H Emidazole 4-Garbonaldenyde, 2- 3-pyridibyl - %-1 | CA INTEX NAME H N OHC OHG N PN 279251 05-7 CAPLUS CN 1H-Imidazole-4-carboxaldehyde, T sa thienyl 1901. - NA INTEX NAME: RM 279251 10 4 CAPCUS CV 1H Imidazole 4 carboxaldebyde, 2-(4-pyridinyl) - (901) CCA INLEX H NAME EN 279251-06-8 CAPLUS CN [2,47-Bi-1B-iBiddacie] 4 martical Menyde (+01 - 40A INTEX NAME Pd (17915, 11) (AADUT)
OU | TH Thi Marole 4 mass calledy set 7 | 4 missipheny) | Pd (174 INLEX SAME)

LI3 ANSWER 3 OF 7
ACCESSION NUMBER:
DOCUMENT HUMBER:
TITLE
TITLE
INVENTOR:(3):

CAPTUS COPPRISHT 2002 ANS
ACCESSION NUMBER:
L23:5550%
Quinoline derivatives, process for their
preparation, and their therapeutic applications
Cremer, Servad Scherrille, Vascaley Muller,

abandoned. CCDEN: USXXAM Patent English

> 1994.2:6 1993.521 1994.211 1997.711

MARPAT 123:55676

AB. The present invention provides a compd. which is a quintline deriv of the formula Ir RI represents either IH tetrazol-5 yl, or CO2H, BZ represents either IH tetrazol-5 yl, or CO2H, BZ represents description of the content of a layl or CZ realkenyl, B3 and B4 represent, independently of each other, hydrogen, palogen, yand group, CZ realkenyl, CZ represents yld A alayl, argu, argl CZ realkenyl, HZ realkenyl, CZ realk

each other, bystosen or 70.4 winds strip, or a 78% offer gring on who be notice.

KING DATE

A A Bi A

PATENT ASSIGNEE'S : SOUPCE: 967, 120,

LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATERT INFORMATION:
PATERT NO. K

US 5371227 PF 76835.9 EF 76836.5 US 5432233 PRIORITY APPEN, INFO.:

OTHER SOURCE(S):

N p2

Claude Synthelabo S. A., Fr. U.S., . pp. Cont.win-part of U.S. Ser. No.

12.694 god date

APPLICATION NO. PATE

US 1993 39-1-FR 1991-15.4-

US 1394-2954+ -FF 1891-1314 -M3 1491-7922 W US 1492-969127 US 1493-39626

- 14983-2295 | CAROTT - 18 Imidacole-4-maistralidenyako olarityi (h. . goenyiettyi) (h.

● 801

LIB ANSWER Z OF TO CARLOS COPTRIBUTED 2 ACS

88 | 1927) 18- GARDUS TR | Hidridable-4 marroxic denyme | Amethysphery | FI | VA TREA MARK

EM 200451:10-1 GABLO2 DI UB Inidazele-4-DarroxaldHoyde, Lo 4-fluorsphebyl (MCH) GA DMEA DARROX

THERE ARE 29 CITED REFERENCES AVAILABLE

PERSEL ALL CITATIONS AVAILABLE IN THE

01

OHU N

H

REFERENCE COUNT:

FOR THIS

PE FORMAT

:4

fontativea

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Lis ARRWER SIDE COMPUTE DESIGNATION AND CONTROL OF MODERN TRANSPORT OF THE TRANSPORT OF THE
   Ph CH, CH, H, H H, H, H
                                                                                                                                                ● H:11
                                                     \frac{15 \times 14 \times 78}{18 \cdot 1000 \text{ dashes}} = \frac{1}{16 \cdot 1000 \text{ dashes}} = \frac{1
                                                                            INCEX NAME
   PL CH CH \stackrel{\rm H}{\rm N} p.n
                                                 155.44:79-5 CAFIUS
1H-imidazole-4 Larboxaldehyde, 2-butyl 5- I-phenylethenyl- ,
CN 1H-imidazol.
ethanedioate
(GCI) GA INGEX HAME:
                                                                            CM 1
   SP CH CH N
                                                                                                                                                                                                                                                                                         Bu n
                                                                                                                                                                                                                                           10
                                                                                                                                        OHC
                                                                            CM 2
                                                                                   CMF 02 H2 04
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L13 ANSWER 4 OF 7 CAPIUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1991:42398 CAPIUS COLUMENT HUMBER: 114:42398 Process for the preparation of the prep
                                                                                                                                                                                                                                                                                  US COPYRIGHT 2002 ACS 1999;162198 CAPBUS 114:42398 Process for the preparation of D(++)-blottin Poetsch. Eiker Cusutt, Michael Merck Patent S.m.b.H. Fed. Rep. Jer. U.S., 14 pp. Cont.-in-part of U.S. 4,877,862. COTEN: USEXEM Patent
             PATENT ASSIGNEE (S::
     DOCUMENT TYPE:
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  NO
           LANGUAGE
-AMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                                                                                                                                                                                                                  English
4
                                                          PATENT NO.
                                                                                                                                                                                                                                                      KIND CATE
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      APPLICATION NO. TATE
                                                                                                                                                                                                                                                                                                                                                                                                                                                  APPLICATION NO.

US 19-9-42229
EE 199-6-381344
EE 199-6-381344
US 199-149121
US 199-14121
US 199-14121
US 199-14-123
EE 199-7-439
EE 199-7-439
EE 199-7-439
US 199-7-494
US 199-7-494
US 199-7-494
US 199-7-494
US 199-7-494
                                                                                                                                                                                                                                                                                                                1997-31
CS 4937351
TE 618247
TE 17:5472
US 473597
US 4837407
US 4837407
US 4877812
US 1055118
PEIOPICTY APPENL INFO.:
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     OTHER SOURCE(S):
                                                                                                                                                                                                                                                                                  MARPAT .14:42398
             p1 p2 Y
     AB. A procedure for preph. if the title compos, comprises reduced optically antive hydantoins of PL, P2 = 8, on substituted alkyl.
```

0, i) III PPF = 0 to alms. II P = H. Ré = OH:, etherification to ethers II P = Hr PF OPF: Ph = O. Calkyli, and reaction of the latter with a with a cyano silane in the presence of a Lewis acid to give nitriles If vR = H, Rr = cyano. Thus, DIRH4 redn. of CVaR of LPRe v Y = r P1 = F6, P2 = H.

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LIB ANSWER BOR " MALDO CARRIGHT DOD ADS CONTINUES
   0.0
но с с он
RM (44sd) is 1 CAPDUS
CM (Hilbridazole 4 arroxaldebyse, runy) isolophenyletbyl, sofil
CA INCEX
BAME
Ph. CH2 CH2 \stackrel{\mbox{\scriptsize H}}{\mbox{\tiny N}} = \frac{\mbox{\tiny H}}{\mbox{\tiny Hu}-\mbox{\tiny hu}-\mbox{\tiny h}}
                  11
N Burn
OHC
         N
   Ci
```

L13 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued) R3 = PhCH2, X = S) gave (7RS , 7aR)-1 (R = H, R6 = OH) which was Rd = Figure, A = 3, y== \text{treated by}
treated by
l,1-carbonyldim.dazole in MeCN to give (TRS, TaR)-I (RE = imidazolyl-1-carbonyloxy). This was treated by Me2SiCN in CH2C12
at -20.degree. in presence of TiC.4 to give (TRS, TaR)-I (RE = figure). cyano.

Prepn. of further D (+) biotin intermediates, e.g.,

(2a5,4S,68P-1,3dibenzyl-4--4-carboxytutyryl.tetrahydrothieno[3,4-d]imidarol
-2:3M-one was a.so given.

IT 12938-10-3P 112938-14-4P 112938-15-5P
112938-16-6P 112938-18-8P 112968-29-3P
11296-32-8P
PD: FCT Feariant, DRM (Synthetic preparation : PPEP (Freparation)
- (prepn. and leastion of, in prepn. of bittin intermediate
PN 12938-13-3 CARLOR
CN 3H.SH-Imidaro[1,5-o](himaril tone.
tetrahydro - timethowy: compenny). 3
phenyl-t- phenylmethyl - HOL - DA BREEN NAME Ph 0 C (CH2)4 OMe PN 112936-14-4 CAPLUS
CN 2-Imidatolishnine,
4 "mercaptomethyl 1-5 methoxy-1 txopentyl -1,3bis-phenylmethyl -, 48 frans (CI) CA INTEX NAME: Apsolute stereochemistry. Pt. CMe 7H2 4 PN 112939-15-5 CAPLUS
CN Ethanethroic acid, S [15-15 methoxy-1 oxogenty],-2-oxo 1,3bis phenylmethyl. 4 imidazclidinyl[methyl] ester. (4P transi-501 | CA

1931) (CA 1934) MAGNI Absolute stereochemistry. 111 ANOMER 4 OF TOURNISH TOFFFERSHT ZOUT AVS. Continues Ph. THE SME b P ∈ FAc Absolute stereochemistry. Ph O N P P SH COLH PN 11396 to 5 CARLOS CN 18 3H Imagazo(1,5%, thiazole*? massivaldebyte, tersabyth of-cash spherol c phenylmethyl; Adl JAZINDER MAME: . сн₂ гл CH2 Ph 0

LIT ADDRESS OF 7 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 149.1422541 CAFLUS
1001NERT NUMBER: 119.25541 CAFLUS
119.25541 CAFLUS
119.25541 CAFLUS
PROMES for the preparation of I-(*/-biotin Postant Number Acsignee (s) Postant Number Access for the preparation of I-(*/-biotin Postant Number Access for the preparation of I-(*/-biotin Postant) Number Access for the preparation of I-(*/-biotin DOCUMENT TYPE: LANCUAGE FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE 19891.31 198011.5 1988 514 1988 620 1989/826 1999/826 PRICE TO SECURE OTHER SIUPPE S : MAREAT 113:23:41

pl p2 Y PhoHan NihaPh K N NF3 NP3 OH OH OH . p4

AB The process for props, the title compo. I is baracterized in that the synthesis is carried cit via an intermediate [] FL F2 ?

H, substituted arkyl, mylloalkyl, aryl, aralkyl, beteroaryl wherein the heteroatom is N. C. 3: PIPC = surstituted alkylene, heteroalkylene; P3 = [substituted PhCHI: P4PF + C: X, Y = 1, X : ry sirvessive redn. to

an alo., esterification, conversion to nitrile, to an alkanoyl, cleavage to imidatelidine and by living to a I deriv. which by known methods
is converted to 1, or conversion of the alkabuyl with an add to a

DIB ANSWER 4 OF 1 CAPITAL OF FEBRUARY AND ACCOUNTS RH 112968 3258 CAPENT ON 1H,3H Imidaco[1,5] (ithiasile "hexandic acid, tetrahydroc.epsilon...5 di.xo 3-pnenyl t phenylmetnyl (cil. CCA INTEX DAME Et. 0 S N N C 38, 4 11,8

113 ANSWER 5 OF 7 CAPLUS COPPRIGHT 2002 ACS (Continued derivs, which by known methods is converted to 1, 1985, 485,648::III was prept.

IT 112938-13-3P 112938-14-4P 112938-15-5P 112938-18-9P 112968-32-8P RL: RCT (Reactant): SPN (Synthetic preparation): PPRP (Preparation) (prepn. and reaction of, in prepn. of biolin intermediate)

RN 112938-13-3 CAPLUS CN 38,584-1midazo[i,5]c]thiazol-5-one, tetrahydro-7-15-methody: coopenty1-3-pheny1-6-(phenyimethy): 901 CA INIEX NAME . $\label{eq:chi_substitute} \mathsf{CH}_{\boldsymbol{\xi}} = \mathsf{Pr}.$ So $\mathsf{N} = \mathsf{N}$ C :GH2 4 3Me 0 PN 112938-14 4 CAPLUS
TN 2-imidazolidinone,
4 meroaponethy) 5 15 methoxy-lioxopentyl (1.)
bis-phenylmethyl , 4P trans (PCI TA INDEX NAME

Ph S O N CHY 4 OMA

Atsolute steretchemistry.

RE 112938-15-5 CAPLUS
CN Sthemethious and S 115 f methoxy I expently. Flows 1.3bisiphenylmethyl 4 imidatolidinyllmethyl ester. (48 transi-INDEX NAME

Absolute stereochemistry.

LIB ARRESTS OF A CAPITAL THIRE BUT IN L. ARE . Cartable (

Pb N P SAC

RM - 112518 18 9 JACOBS - 18 18 Haddane(125 officer) le " maid xelletyte, tetrabytes (ose appenyl) - (denylmentyl - 41 - 42 INTEX DAME

PHI SHOP FR

CH2 Ph

PN 112968-32 % CAPIUS CN 1H.3H-1midaco(() ojtnjancie / Lexancic acid, tetrahydro-sepsiton.) dioxe 3 phenyl cophenyimethylo oci. GA INCEX NAME

Ph 0 S N N с танута соун

LI3 ANSWEP 6 OF 7 CAPLUS COPYPIGHT 2-2 ACS ACCESSION NUMBER: 1688:112077 CAPLUS COPYPIGHT TITLE: Process for the prepar INVENDORS': Process for the prepar Foctorial, Elect Casulty.

COB-12-077

Process for the preparation of f - * biotin
Poetsch, Elker Casult, Michael
Merrk Patent Gumbuff, Fed. Rep. der.
Eur. Pat. April. "I pp.
COEMI EPANIW
Patent PATENT ASSIGNED S:: DOCUMENT TYPE:

PATENT INFORMATION: PATENT NG. FIND DATE APPLICATION NO. : LATE EP 142686 AJ 19801078
EP 142686 AJ 19800774
EP 142686 BJ 19800774
PJ CH. 16 FP, CH. 17 17
TE 1613249 AJ 198011 5
DE 3778970 AJ 1980 414
EPIOPITY APPUN INEC. EP 1481 1 5 14 1 1481 4/6

1E 19-6 8613/45 19-6 419 1E 1997 81 3812 19-7 19-7 1E 1966 (413/41 19-6 419 1E 1967 81 3812 19-71)

p1 p2 Y N NP3 . p4

A procedure for the preph. of 1 - flotin from 1 Tysteine or

ureystine or b serine via hinyriso intermediate (DPLPP - H. consubstituted alky), prioalky), aryl, araikyi, beteroaryl; BPR - in substituted alkylene.

heteroalkylene: Ps \in H, N-protective group: R4R5 = 0: X, Y = 0, S}.

is described. DibM4 redn. of THILE FLIT Ph. FIT H. FIT E H.H.

described DisH4 redn. of TB-10 Ph, BT 6 H, BS 6 ENTHY, BR55 Ph 6 Ph 7 F 8 P

1.3 ANGWER SIGE CAPAGE CONFIGHT . J. ACC. Configuration

IT 112938-18-8F
REF RET Greation: (SPH Gymthet; preparation) PREP (Freparation)
preparation of Aprils (SPH GAPLES CH. 18.38 | Immarcoll, September of Sarbox CH. 18.38 | Immarcoll, September of Sarbox algebyde, tetrahydro Sphenyl of CA INDEX NAME

√'H⊜ SH2 TH N d

il. 5 SH2 Ph C CH2 4 OMe

PN 1129-9-14 4 CARTOO CR 2-Indexel/dinone, 4 heragineetsy, 1 5 hericky 1 oxogentyl -1,3 118 pnelylmetsyl , 48 frans -01 CA INTEX NAME

Adsolute stereouterustry.

Э 5 B

EN 11296 15-1 CAPLUS
UN Ethanethiori aud, Fills 5 methoxy acxipenty. 2 cxi 1,3
Lis phenylmethyl 4 imidazolidnyllmethyll ester. 4F trans 10 CA
HOUSE NAME

Assolute stereochemistry.

1.3 ANIMER + DE 7 CARTON STREET L. C.A.M. SCHILLER

N P SAC SAC

PN | 117+34-16 6 CARIDS CN | 4 | Imidatolidicehezator (arti) 5 | meriaproentiy(regisiro ... 1072 | 1.5 Els phenylmethy) | 74P trata | -11 | 74 IMEX HAME

Apsolute stereochemistry.



CH₂ Fh

RN 112968-29-3 CAPLUS
CN 1H, 3H-Ibnidazo(1,5-o)thracole "darbonitrile, tetrahydro-5 oxo-3-phenyl-r (phenylmethyl) - MCI: JOA INLEX NAME:

LI3 ANSWER 7 OF 7
ACCESSION NUMBER:
ACCESSION NUMBER:
DOCUMENT NYMBER:
ALTHOR(S):
SCUPCE:
COCCUMENT TYPE:
COCU

LPNGUAGE: OTHER SOURCE'S': GI English CASPEACT 97:6222

<"HC √H, 5H 111 EPP2 IA CH15H R CHC

AB Introduction of Ph3C on the N of imidazole 4,5 dicarboxylic acid esters or 4,5 dihydroxymethylimidazole deactivated the functional

group
as acent to the protecting group and allowed reactions to take place preferably or exclusively on the other functional group. Thus, di-Me

: I triphenylmethylimidascle 4,° disarboxylate I , on treatment with NHINH.

and MeNH2 produced Me

and MeNR2 produced Me
Anydratinocartomyl-1-triphenylmethylimidazole 5
carboxylate and Me
4-methylaminocarbonyl 1-triphenylmethylimidazole 5
carboxylate, resp. Redn. of Ewith LiBH4 gave Me
4-bydroxymethyli:
t yhenylmethylimidazole 5 carboxylate. Treatment of 4,5bischydroxymethyliol triphenylmethylimidazole 11 with Melicoci
and Welf.

and with defected between the structure of the structure

produced the monoaldehydes III and IV in a ratio of IV:1. A new mild process for deprotection of N triphenylmethylimidateles, compatible with and sensitive groups in the mol , is reported.

synthesis of several 4.1 insufstituted imidazoles is also ## 82032-50-6P

.. eguar-bu-6P Pl: EPE -Properties : CES -Cynthetic preparation : EPES Preparation greph. and DMP of

Dis ARRWER & DE " MARION TENEGRED POR A P / httmsed

CH1 En

BH 117948 37-8 CARLOS CR UN Bibliogrofil (ithiganie Generalziniense) Letralygro Legalion, bibliografia Elphonyles phenylmetnyl BCI DA 18088 DAME

Ph 1 H2 Pn s t u C 082 4 VD2H

L13 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued) RN 81030-50-6 CAPLUS (N. H-Imidazole-5-caiboxaldehyde, 4-(hydroxymethyl)-1-(friphenylmethyl)-501 (CA INDEX NAME)

N CH2 OH

82032-51-7P IT 82032-51-7P
Fin PCOT Peachants: BEN iSynthetic preparation: PPEP (Preparation) preph, and depicted ion or reaction of, with thionyl chloride)
RN 4. static Charles
CN .H-Imidazole-4-dartonitrile,
5. hydroxymetry: -1- triplenylmetryl - DOI OA INEX WARE

CPh:

N CH2 OH 24

S2032-53-9P

Fig. RCT (Peactant) SPN Synthetic preparation > FREP (Preparation) preport and substitution reaction of, with aminoethanethiol.

RN 820-32-53-9P

RN 820-32-53

TA INDEX NAME

● H1.1

IT 82032-52-8P 82032-54-0P Pir SPM Synthetic preparation of EREE Preparation preparation

: est rderen a

Vs. claim 17 Por 10/019094

24 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: ECCUMENT NUMBER:

CORPORATE SOURCE:

TITLE:

1977:106471 CAPLUS

36:106471

Photochemical reactions. Part 91. Photochemistry of imidazolides. I. The photo-Fries-type rearrangement

of N-substituted imidazoles

Iwasaki, Shigeo

Org.-Them. Lab., ETH, Zurich, Switz. 👍 Helv. Chim. Acta (1976), 59(8), 2733-52

CODEN: HCACAV

Er.alish

Journal

DOCUMENT TYPE:

LANGUAGE:

AUTEOR(ε):

SOURCE:

ΙI III

Imidazoles I (R = Ac, Me(CH2)6CO, cyclohexylcarbonyl, Me3CCO, Bz, Me2C:CHCO, MeO2C, Et2NCO, PhCH2) underwent photochem. rearrangements to give 5-45% II and 10-35% III. The structures of II and III were confirmed

by spectral data, which are reported.

61985-31-7P ΙΤ

F.L: PREF (Preparation)

(by photochem. rearrangement of 1-acyl analog)

61385-31-7 CAPLUS

1-Fropanone, 1-(1H-imidazcl-4-yl)-2,2-dimethyl- (9CI) (CA INDEX NAME) CM

